

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
22 July 2004 (22.07.2004)

PCT

(10) International Publication Number
WO 2004/061433 A1

(51) International Patent Classification⁷: G01N 21/27, 25/08, C07B 63/00, A61K 31/415

(21) International Application Number: PCT/US2003/041273

(22) International Filing Date: 24 December 2003 (24.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/437,516	30 December 2002 (30.12.2002)	US
60/441,335	21 January 2003 (21.01.2003)	US
60/451,213	28 February 2003 (28.02.2003)	US
60/456,027	18 March 2003 (18.03.2003)	US
60/456,608	21 March 2003 (21.03.2003)	US
60/459,501	1 April 2003 (01.04.2003)	US
10/601,092	20 June 2003 (20.06.2003)	US
PCT/US03/19574	20 June 2003 (20.06.2003)	US
60/486,713	11 July 2003 (11.07.2003)	US
60/487,064	11 July 2003 (11.07.2003)	US
PCT/US03/27772	4 September 2003 (04.09.2003)	US
10/660,202	11 September 2003 (11.09.2003)	US
PCT/US03/28982	16 September 2003 (16.09.2003)	US

(63) Related by continuation (CON) or continuation-in-part (CIP) to earlier applications:

US	10/601,092 (CIP)
Filed on	20 June 2003 (20.06.2003)
US	PCT/US03/19574 (CIP)
Filed on	20 June 2003 (20.06.2003)
US	PCT/US03/27772 (CIP)
Filed on	4 September 2003 (04.09.2003)
US	10/378,956 (CIP)
Filed on	3 March 2003 (03.03.2003)
US	10/660,202 (CIP)
Filed on	11 September 2003 (11.09.2003)
US	10/637,829 (CIP)
Filed on	8 August 2003 (08.08.2003)
US	10/449,307 (CIP)
Filed on	30 May 2003 (30.05.2003)
US	PCT/US03/28982 (CIP)
Filed on	16 September 2003 (16.09.2003)

(71) Applicant (for all designated States except US): TRANS-FORM PHARMACEUTICALS, INC. [US/US]; 29 Hartwell Avenue, Lexington, MA 02421 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): TAWA, Mark [US/US]; 111 Kenrick Street, Brighton, MA 02135 (US). REMENAR, Julius [US/US]; 9 Eaton Road, Framingham, MA 01701 (US). PETERSON, Matthew [US/US]; 60 Linda Avenue, Framingham, MA 01701 (US). ALMARS-SON, Orn [US/US]; 22 Farmington Drive, Shrewsbury, MA 01545 (US). GUZMAN, Hector [US/US]; 11 Bradford Street, Apartment 1, Boston, MA 02118 (US). CHEN, Hongming [CN/US]; 8 Sawmill Road, Acton, MA 01720 (US). OLIVEIRA, Mark [US/US]; 290 Grove Street Apt. 10, Auburndale, MA 02466 (US).

(74) Agents: EISENSCHENK, Frank, C. et al.; Saliwanchik, Lloyd & Saliwanchik, 2421 N.W. 41st Street, Suite A-1, Gainesville, FL 32606-6669 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/061433 A1

(54) Title: PHARMACEUTICAL COMPOSITIONS WITH IMPROVED DISSOLUTION

(57) Abstract: The invention relates to methods of screening mixtures containing a pharmaceutical compound and an excipient to identify properties of the pharmaceutical compound/excipient combination that retard solid-state nucleation. The invention further relates to increasing the solubility, dissolution and bioavailability of a drug with low solubility in gastric fluids conditions by combining the drug with a precipitation retardant and an optional enhancer.